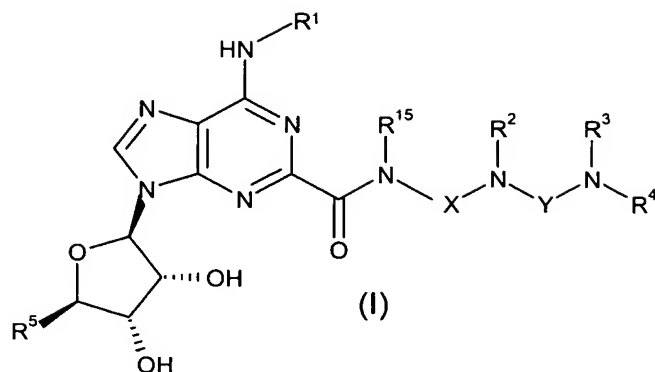


# CLAIMS

1. A compound of the formula:



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or a pharmaceutically acceptable salt or solvate thereof, wherein

$R^1$  is H,  $C_1$ - $C_6$  alkyl or fluorenyl, said  $C_1$ - $C_6$  alkyl being optionally substituted by 1 or 2 substituents each independently selected from phenyl and naphthyl, said phenyl and naphthyl being optionally substituted by  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy, halo or cyano;

(A)  $R^2$  is H or  $C_1$ - $C_6$  alkyl,  $R^{15}$  is H or  $C_1$ - $C_6$  alkyl, and X is either (i) unbranched  $C_2$ - $C_3$  alkylene optionally substituted by  $C_1$ - $C_6$  alkyl or  $C_3$ - $C_8$  cycloalkyl, or (ii) a group of the formula:



where W is  $C_5$ - $C_7$  cycloalkylene optionally substituted by  $C_1$ - $C_6$  alkyl, n is 0 or 1 and p is 0 or 1, or

(B)  $R^{15}$  is H or  $C_1$ - $C_6$  alkyl, and  $R^2$  and X, taken together with the nitrogen atom to which they are attached, represent azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl, each being optionally substituted by  $C_1$ - $C_6$  alkyl, or

(C)  $R^2$  is H or  $C_1-C_6$  alkyl, and  $R^{15}$  and X, taken together with the nitrogen atom to which they are attached, represent azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl, each being optionally substituted by  $C_1-C_6$  alkyl;

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either,  $R^3$  and  $R^4$ , taken together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidiny, piperidiny, piperaziny, homopiperidiny or homopiperaziny, each being optionally substituted on a ring nitrogen or carbon atom by  $C_1-C_6$  alkyl or  $C_3-C_8$  cycloalkyl and optionally substituted on a ring carbon atom not adjacent to a ring nitrogen atom by -  
 10  $NR^6R^7$ ,

or,  $R^3$  is H,  $C_1-C_6$  alkyl,  $C_3-C_8$  cycloalkyl or benzyl and  $R^4$  is

- (a) azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl  
 15 or homopiperidin-4-yl, each being optionally substituted by  $C_1-C_6$  alkyl,  $C_3-C_8$  cycloalkyl, phenyl, benzyl or het, or  
 (b)  $-(C_2-C_6 \text{ alkylene})-R^8$ ,  
 (c)  $-(C_1-C_6 \text{ alkylene})-R^{13}$ , or  
 (d)  $C_1-C_6$  alkyl or  $C_3-C_8$  cycloalkyl;

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$R^5$  is  $CH_2OH$  or  $CONR^{14}R^{14}$ ;

- $R^6$  and  $R^7$  are either each independently H or  $C_1-C_6$  alkyl or, taken together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidiny  
 25 or piperidiny, said azetidiny, pyrrolidiny and piperidiny being optionally substituted by  $C_1-C_6$  alkyl;

- $R^8$  is (i) azetidin-1-yl, pyrrolidin-1-yl, piperidin-1-yl, morpholin-4-yl, piperazin-1-yl, homopiperidin-1-yl, homopiperazin-1-yl or tetrahydroisoquinolin-1-yl, each  
 30 being optionally substituted on a ring carbon atom by  $C_1-C_6$  alkyl,  $C_3-C_8$  cycloalkyl, phenyl,  $C_1-C_6$  alkoxy- $(C_1-C_6)$ -alkyl,  $R^9R^9N-(C_1-C_6)$ -alkyl, fluoro- $(C_1-C_6)$ -alkyl,  $-CONR^9R^9$ ,  $-COOR^9$  or  $C_2-C_5$  alkanoyl, and optionally substituted on a

ring carbon atom not adjacent to a ring nitrogen atom by fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy, halo, -OR<sup>9</sup>, cyano, -S(O)<sub>m</sub>R<sup>10</sup>, -NR<sup>9</sup>R<sup>9</sup>, -SO<sub>2</sub>NR<sup>9</sup>R<sup>9</sup>, -NR<sup>9</sup>COR<sup>10</sup> or -NR<sup>9</sup>SO<sub>2</sub>R<sup>10</sup>, and said piperazin-1-yl and homopiperazin-1-yl being optionally substituted on the ring nitrogen atom not attached to the C<sub>2</sub>-C<sub>6</sub> alkylene group by C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl, C<sub>1</sub>-C<sub>6</sub> alkoxy-(C<sub>2</sub>-C<sub>6</sub>)-alkyl, R<sup>9</sup>R<sup>9</sup>N-(C<sub>2</sub>-C<sub>6</sub>)-alkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, C<sub>2</sub>-C<sub>5</sub> alkanoyl, -COOR<sup>10</sup>, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, -SO<sub>2</sub>R<sup>10</sup>, -SO<sub>2</sub>NR<sup>9</sup>R<sup>9</sup> or -CONR<sup>9</sup>R<sup>9</sup>, or  
 5 (ii) NR<sup>11</sup>R<sup>12</sup>;

R<sup>9</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl or phenyl;

10

R<sup>10</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl or phenyl;

R<sup>11</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl or benzyl;

15 R<sup>12</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, phenyl, benzyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, -CONR<sup>9</sup>R<sup>9</sup>, -COOR<sup>10</sup>, C<sub>2</sub>-C<sub>5</sub> alkanoyl or -SO<sub>2</sub>NR<sup>9</sup>R<sup>9</sup>;

R<sup>13</sup> is (a) phenyl, pyridin-2-yl, pyridin-3-yl or pyridin-4-yl, each being optionally substituted by C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -(C<sub>1</sub>-C<sub>3</sub> alkylene)-(C<sub>1</sub>-C<sub>6</sub> alkoxy), halo, cyano, -(C<sub>1</sub>-C<sub>3</sub> alkylene)-CN, -CO<sub>2</sub>H, -(C<sub>1</sub>-C<sub>3</sub> alkylene)-CO<sub>2</sub>H, -CO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub> alkyl),  
 20 -(C<sub>1</sub>-C<sub>3</sub> alkylene)-CO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub> alkyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>14</sup>R<sup>14</sup>, -CONR<sup>14</sup>R<sup>14</sup> or - (C<sub>1</sub>-C<sub>3</sub> alkylene)-CONR<sup>14</sup>R<sup>14</sup>, or (b) azetidin-2-yl, azetidin-3-yl, pyrrolidin-2-yl, pyrrolidin-3-yl, piperidin-2-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-2-yl, homopiperidin-3-yl or homopiperidin-4-yl, each being optionally substituted by  
 25 C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, phenyl, benzyl or het;

R<sup>14</sup> is H or C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted by cyclopropyl;

m is 0, 1 or 2;

30

Y is CO, CS, SO<sub>2</sub> or C=N(CN); and

“het”, used in the definition of  $R^4$  and  $R^{13}$ , is a C-linked, 4- to 6-membered ring, heterocycle having either from 1 to 4 ring nitrogen heteroatoms or 1 or 2 nitrogen ring heteroatoms and 1 oxygen or 1 sulphur ring heteroatom, optionally substituted by  $C_1-C_6$  alkyl,  $C_3-C_8$  cycloalkyl,  $C_1-C_6$  alkoxy,  $C_3-C_8$  cycloalkoxy, hydroxy, oxo or halo.

2. A compound as claimed in claim 1 wherein  $R^1$  is  $C_1-C_6$  alkyl optionally substituted by 1 or 2 phenyl substituents, said phenyl being optionally substituted by  $C_1-C_6$  alkyl or halo.
3. A compound as claimed in claim 2 wherein  $R^1$  is diphenylethyl, bis(3-methylphenyl)ethyl or bis(3-chlorophenyl)ethyl.
4. A compound as claimed in claim 3 wherein  $R^1$  is 2,2-diphenylethyl, 2,2-bis(3-methylphenyl)ethyl or 2,2-bis(3-chlorophenyl)ethyl.
5. A compound as claimed in claim 4 wherein  $R^1$  is 2,2-diphenylethyl.
6. A compound as claimed in any one of the preceding claims wherein  $R^2$  is H.
7. A compound as claimed in any one of the preceding claims wherein  $R^{15}$  is H.
8. A compound as claimed in any one of the preceding claims wherein X is 1,2-ethylene or 1,3-propylene.
9. A compound as claimed in claim 8 wherein X is 1,2-ethylene.
10. A compound as claimed in any one of claims 1 to 5 wherein  $R^2$  is H,  $R^{15}$  is H and X is 1,2-ethylene, 1,3-propylene or a group of the formula:  

$$-(CH_2)_n - W - (CH_2)_p -$$

where W is C<sub>5</sub>-C<sub>7</sub> cycloalkylene, n is 0 or 1 and p is 0 or 1.

11. A compound as claimed in claim 10 wherein R<sup>2</sup> is H, R<sup>15</sup> is H and X is 1,2-ethylene, 1,3-propylene or a group of the formula:

5



where W is C<sub>5</sub>-C<sub>7</sub> cycloalkylene, n is 0 and p is 0.

10 12. A compound as claimed in claim 11 wherein R<sup>2</sup> is H, R<sup>15</sup> is H and X is 1,2-ethylene, 1,3-propylene or 1,4-cyclohexylene.

13. A compound as claimed in claim 12 wherein R<sup>2</sup> is H, R<sup>15</sup> is H and X is 1,2-ethylene.

15

14. A compound as claimed in any one of claims 1 to 5 wherein R<sup>15</sup> is H and R<sup>2</sup> and X, taken together with the nitrogen atom to which they are attached, represent 3-pyrrolidinyl or 3- or 4-piperidinyl, each being optionally substituted by C<sub>1</sub>-C<sub>6</sub> alkyl.

20

15. A compound as claimed in claim 14 wherein R<sup>15</sup> is H and R<sup>2</sup> and X, taken together with the nitrogen atom to which they are attached, represent 3-pyrrolidinyl or 4-piperidinyl.

25 16. A compound as claimed in any one of claims 1 to 5 wherein R<sup>2</sup> is H and R<sup>15</sup> and X, taken together with the nitrogen atom to which they are attached, represent 3-pyrrolidinyl or 3- or 4-piperidinyl, each being optionally substituted by C<sub>1</sub>-C<sub>6</sub> alkyl.

30 17. A compound as claimed in claim 16 wherein R<sup>2</sup> is H and R<sup>15</sup> and X, taken together with the nitrogen atom to which they are attached, represent 3-pyrrolidinyl or 4-piperidinyl.

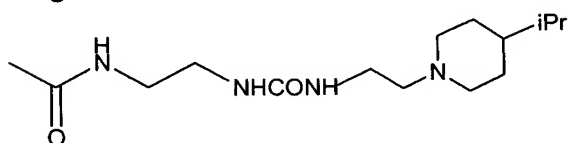
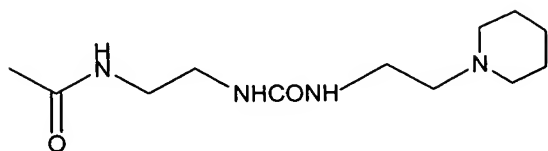
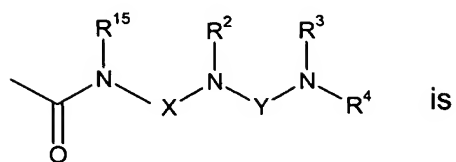
18. A compound as claimed in any one of the preceding claims wherein  $R^3$  is H.
19. A compound as claimed in any one of the preceding claims wherein  $R^4$  is piperidin-3-yl or piperidin-4-yl, each optionally substituted by benzyl, pyridin-2-yl, pyridin-3-yl or pyridin-4-yl, said pyridin-2-yl, pyridin-3-yl and pyridin-4-yl each optionally substituted by  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_8$  cycloalkyl,  $C_1$ - $C_6$  alkoxy,  $C_3$ - $C_8$  cycloalkoxy, hydroxy, oxo or halo.
20. A compound as claimed in claim 19 wherein  $R^4$  is piperidin-3-yl or piperidin-4-yl, each substituted by benzyl, pyridin-2-yl, pyridin-3-yl or pyridin-4-yl.
21. A compound as claimed in claim 20 wherein  $R^4$  is piperidin-4-yl substituted by pyridin-2-yl.
22. A compound as claimed in claim 21 wherein  $R^4$  is 1-(pyridin-2-yl)piperidin-4-yl.
23. A compound as claimed in any one of claims 1 to 18 wherein  $R^4$  is  $-(C_2-C_6 \text{ alkylene})-R^8$ .
24. A compound as claimed in claim 23 wherein  $R^4$  is  $-\text{CH}_2\text{CH}_2R^8$ .
25. A compound as claimed in any one of claims 1 to 18 wherein  $R^4$  is  $-(C_1-C_6 \text{ alkylene})-R^{13}$ .
26. A compound as claimed in claim 25 wherein  $R^4$  is  $-\text{CH}_2R^{13}$  or  $-\text{CH}_2\text{CH}_2R^{13}$ .
27. A compound as claimed in any one of claims 1 to 18 wherein  $R^4$  is  $C_3$ - $C_8$  cycloalkyl.
28. A compound as claimed in claim 27 wherein  $R^4$  is cyclohexyl.

29. A compound as claimed in any one of the preceding claims wherein  $R^5$  is - $CH_2OH$  or - $CONH(C_1-C_6 \text{ alkyl})$ .
30. A compound as claimed in claim 29 wherein  $R^5$  is - $CONHCH_2CH_3$ .
- 5 31. A compound as claimed in claim 23 or 24 wherein  $R^8$  is (i) azetidin-1-yl, pyrrolidin-1-yl, piperidin-1-yl, morpholin-4-yl, piperazin-1-yl, homopiperidin-1-yl, homopiperazin-1-yl or tetrahydroisoquinolin-1-yl, each being optionally substituted on a ring carbon atom by  $C_1-C_6$  alkyl and said piperazin-1-yl and
- 10 homopiperazin-1-yl being optionally substituted on the ring nitrogen atom not attached to the  $C_2-C_6$  alkylene group by  $C_1-C_6$  alkyl, or (ii) is  $NR^{11}R^{12}$ .
32. A compound as claimed in claim 31 wherein  $R^8$  is piperidin-1-yl or tetrahydroisoquinolin-1-yl each optionally substituted on a ring carbon atom by
- 15  $C_1-C_6$  alkyl.
33. A compound as claimed in claim 32 wherein  $R^8$  is piperidin-1-yl, 4-isopropylpiperidin-1-yl or tetrahydroisoquinolin-1-yl.
- 20 34. A compound as claimed in claim 31 wherein  $R^8$  is  $NR^{11}R^{12}$  where  $NR^{11}R^{12}$  is  $N(C_1-C_6 \text{ alkyl})_2$ ,  $N(C_1-C_6 \text{ alkyl})(C_3-C_8 \text{ cycloalkyl})$  or  $N(C_1-C_6 \text{ alkyl})(\text{benzyl})$ .
35. A compound as claimed in claim 34 wherein  $NR^{11}R^{12}$  is N,N-diisopropylamino, N,N-di-n-butylamino, N-cyclopentyl-N-isopropylamino, N-cyclohexyl-N-isopropylamino or N-benzyl-N-isopropylamino.
- 25 36. A compound as claimed in claim 31 wherein  $R^{11}$  is H or  $C_1-C_6$  alkyl and  $R^{12}$  is H,  $C_1-C_6$  alkyl,  $C_3-C_8$  cycloalkyl or benzyl.
- 30 37. A compound as claimed in claim 36 wherein  $R^{11}$  is  $C_1-C_6$  alkyl and  $R^{12}$  is  $C_1-C_6$  alkyl,  $C_3-C_8$  cycloalkyl or benzyl.

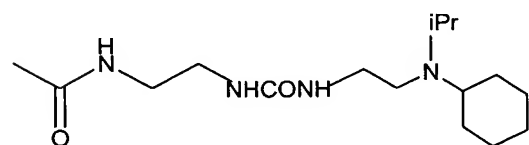
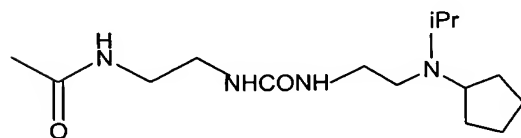
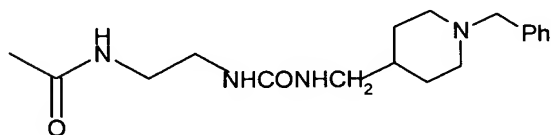
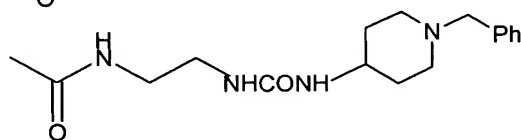
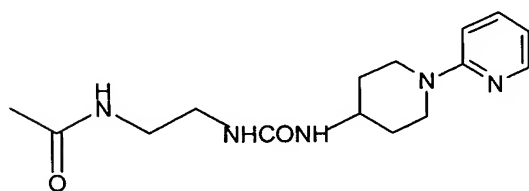
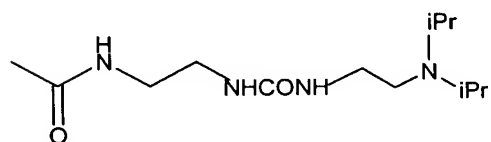
38. A compound as claimed in claim 37 wherein R<sup>11</sup> is isopropyl or n-butyl and R<sup>12</sup> is isopropyl, n-butyl, cyclopentyl, cyclohexyl or benzyl.
39. A compound as claimed in claim 25 or 26 wherein R<sup>13</sup> is either phenyl  
5 optionally substituted by -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>14</sup>R<sup>14</sup> or -CO<sub>2</sub>H, or piperidin-2-yl, piperidin-3-yl or piperidin-4-yl each optionally substituted by benzyl.
40. A compound as claimed in claim 39 wherein R<sup>13</sup> is phenyl, 4-(N,N-diethylamino)methylphenyl, 4-carboxyphenyl or 1-benzylpiperidin-4-yl.  
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41. A compound as claimed in any one of the preceding claims wherein Y is CO.

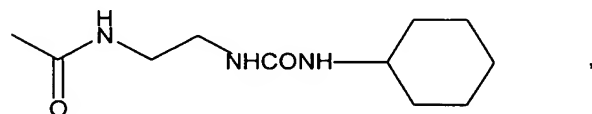
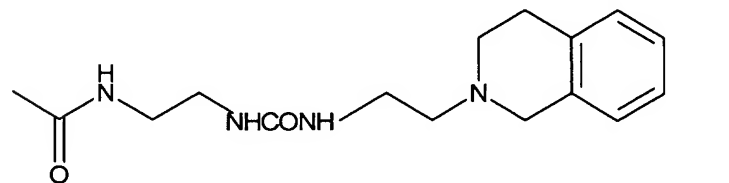
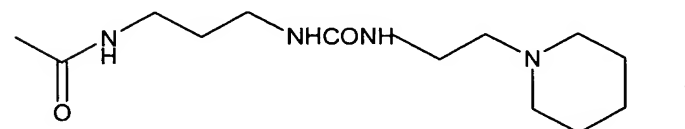
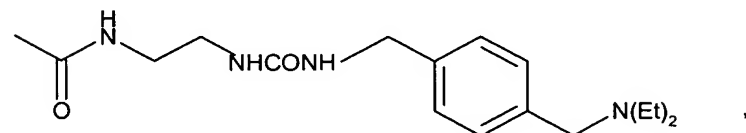
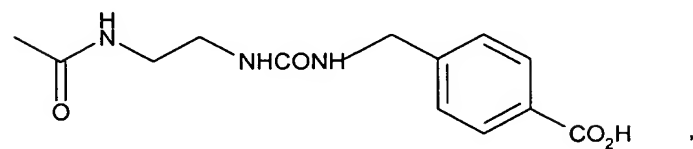
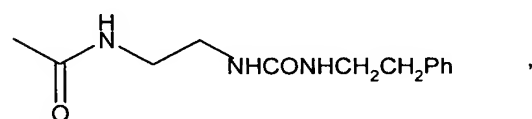
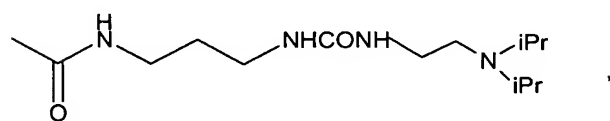
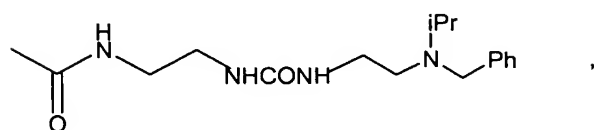
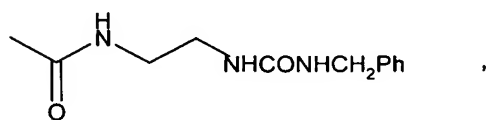
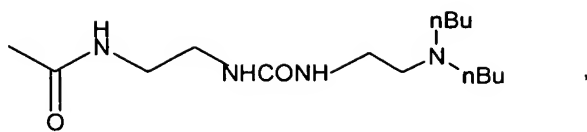


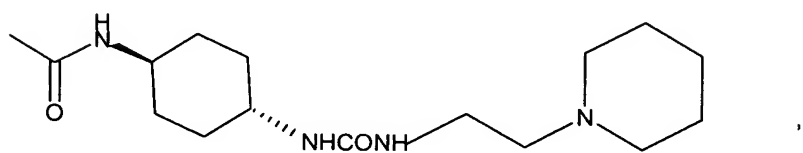
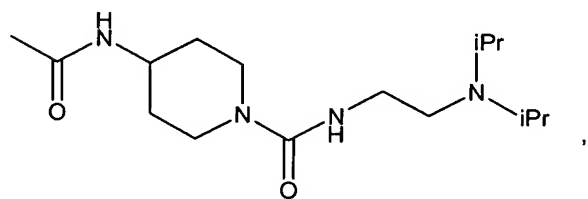
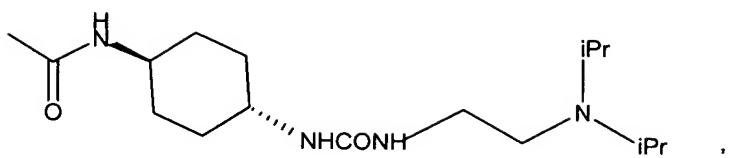
42. A compound as claimed in claim 1 wherein



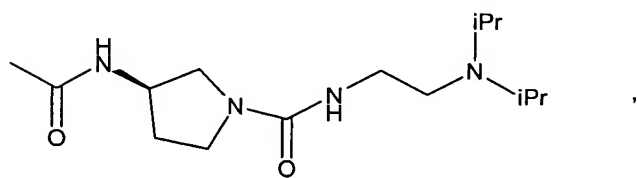
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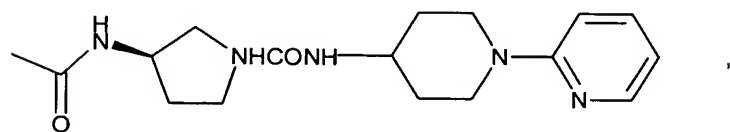
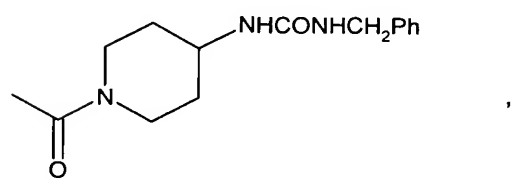


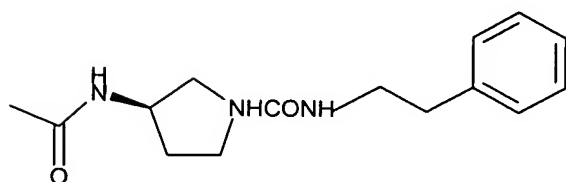
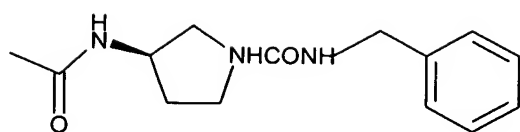


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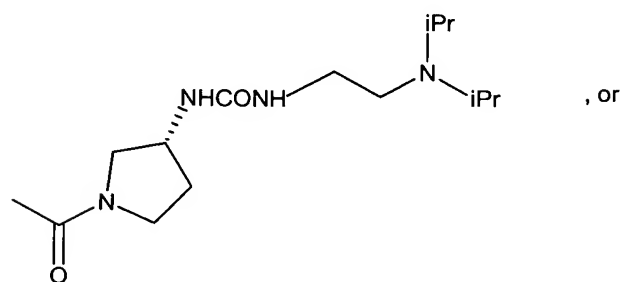


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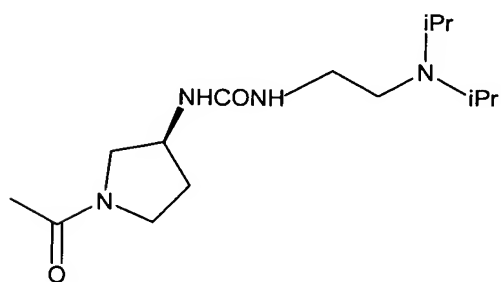




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, or



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43. A compound as claimed in claim 1 which is 6-[(2,2-diphenylethyl)amino]-9-  
 {(2*R*,3*R*,4*S*,5*S*)-5-[(ethylamino)carbonyl]-3,4-dihydroxytetrahydro-2-furanyl}-*N*-  
 {2-[[[1-(2-pyridinyl)-4-piperidinyl]amino]carbonyl]amino]ethyl}-9*H*-purine-2-  
 carboxamide or a pharmaceutically acceptable salt or solvate thereof.

5

44. A compound as claimed in claim 1 which is 4-[[[(2-[(6-[(2,2-  
 diphenylethyl)amino]-9-[(2*R*,3*R*,4*S*,5*S*)-5-[(ethylamino)carbonyl]-3,4-  
 dihydroxytetrahydro-2-furanyl]-9*H*-purin-2-  
 yl)carbonyl]amino]ethyl)amino]carbonyl]-amino)methyl]benzoic acid or a  
 10 pharmaceutically acceptable salt or solvate thereof.

45. A pharmaceutical composition including a compound of the formula (I) or a  
 pharmaceutically acceptable salt or solvate thereof, as claimed in any one of  
 claims 1 to 44, together with a pharmaceutically acceptable excipient, diluent or  
 15 carrier.

46. A compound of the formula (I) or a pharmaceutically acceptable salt,  
 solvate or composition thereof, as claimed in any one of claims 1 to 44 and 45  
 respectively, for use as a medicament.

20

47. A compound of the formula (I) or a pharmaceutically acceptable salt,  
 solvate or composition thereof, as claimed in any one of claims 1 to 44 and 45  
 respectively, for use as an A2a receptor agonist.

25 48. A compound of the formula (I) or a pharmaceutically acceptable salt,  
 solvate or composition thereof, as claimed in any one of claims 1 to 44 and 45  
 respectively, for use as an anti-inflammatory agent.

49. A compound of the formula (I) or a pharmaceutically acceptable salt,  
 30 solvate or composition thereof, as claimed in any one of claims 1 to 44 and 45  
 respectively, for use in the treatment of a respiratory disease.

50. A compound as claimed in claim 49 where the disease is selected from the

group consisting of adult respiratory distress syndrome (ARDS), bronchitis, chronic bronchitis, chronic obstructive pulmonary disease, cystic fibrosis, asthma, emphysema, bronchiectasis, chronic sinusitis and rhinitis.

- 5 51. A compound of the formula (I) or a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one of claims 1 to 44 and 45 respectively, for use in the treatment of septic shock, male erectile dysfunction, male factor infertility, female factor infertility, hypertension, stroke, epilepsy, cerebral ischaemia, peripheral vascular disease, post-ischaemic reperfusion
- 10 injury, diabetes, rheumatoid arthritis, multiple sclerosis, psoriasis, dermatitis, allergic dermatitis, eczema, ulcerative colitis, Crohns disease, inflammatory bowel disease, *Helicobacter pylori* gastritis, non-*Helicobacter pylori* gastritis, non-steroidal anti-inflammatory drug-induced damage to the gastro-intestinal tract or a psychotic disorder, or for wound healing.
- 15 52. The use of a compound of the formula (I) or of a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one of claims 1 to 44 and 45 respectively, for the manufacture of a medicament having A2a receptor agonist activity.
- 20 53. The use of a compound of the formula (I) or of a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one of claims 1 to 44 and 45 respectively, for the manufacture of an anti-inflammatory agent.
- 25 54. The use of a compound of the formula (I) or of a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one of claims 1 to 44 and 45 respectively, for the manufacture of a medicament for the treatment of a respiratory disease.
- 30 55. Use as claimed in claim 54 where the disease is selected from the group consisting of adult respiratory distress syndrome (ARDS), bronchitis, chronic bronchitis, chronic obstructive pulmonary disease, cystic fibrosis, asthma,

emphysema, bronchiectasis, chronic sinusitis and rhinitis.

56. The use of a compound of the formula (I) or of a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one of claims 1 to 44 and 45 respectively, for the manufacture of a medicament for the treatment of septic shock, male erectile dysfunction, male factor infertility, female factor infertility, hypertension, stroke, epilepsy, cerebral ischaemia, peripheral vascular disease, post-ischaemic reperfusion injury, diabetes, rheumatoid arthritis, multiple sclerosis, psoriasis, dermatitis, allergic dermatitis, eczema, ulcerative colitis, Crohns disease, inflammatory bowel disease, *Helicobacter pylori* gastritis, non-*Helicobacter pylori* gastritis, non-steroidal anti-inflammatory drug-induced damage to the gastro-intestinal tract or a psychotic disorder, or for wound healing.
57. A method of treatment of a mammal, including a human being, with a A2a receptor agonist including treating said mammal with an effective amount of a compound of the formula (I) or with a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one of claims 1 to 44 and 45 respectively.
58. A method of treatment of a mammal, including a human being, to treat an inflammatory disease including treating said mammal with an effective amount of a compound of the formula (I) or with a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one of claims 1 to 44 and 45 respectively.
59. A method of treatment of a mammal, including a human being, to treat a respiratory disease including treating said mammal with an effective amount of a compound of the formula (I) or with a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one of claims 1 to 44 and 45 respectively.

60. A method as claimed in claim 59 where the disease is selected from the group consisting of adult respiratory distress syndrome (ARDS), bronchitis, chronic bronchitis, chronic obstructive pulmonary disease, cystic fibrosis, asthma, emphysema, bronchiectasis, chronic sinusitis and rhinitis.

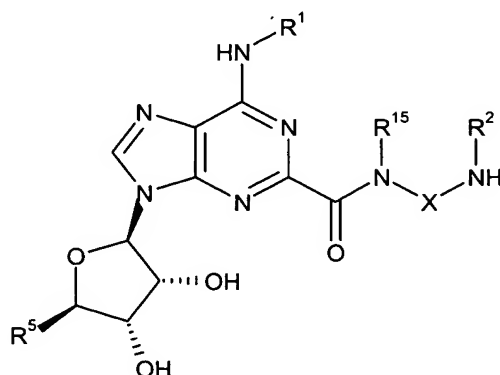
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61. A method of treatment of a mammal, including a human being, to treat septic shock, male erectile dysfunction, male factor infertility, female factor infertility, hypertension, stroke, epilepsy, cerebral ischaemia, peripheral vascular disease, post-ischaemic reperfusion injury, diabetes, rheumatoid  
 10 arthritis, multiple sclerosis, psoriasis, dermatitis, allergic dermatitis, eczema, ulcerative colitis, Crohns disease, inflammatory bowel disease, *Helicobacter pylori* gastritis, non-*Helicobacter pylori* gastritis, non-steroidal anti-inflammatory drug-induced damage to the gastro-intestinal tract or a psychotic disorder, or for wound healing, including treating said mammal with an effective amount of a  
 15 compound of the formula (I) or with a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one of claims 1 to 44 and 45 respectively.

62. A process for the preparation of a compound of the formula (I) as claimed in  
 20 claim 1 which includes

(a) for the preparation of a compound of the formula (I) wherein Y is CO and  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^{15}$  and X are as defined in claim 1, reaction of a compound of the formula:

25





(II)

with a compound of the formula:

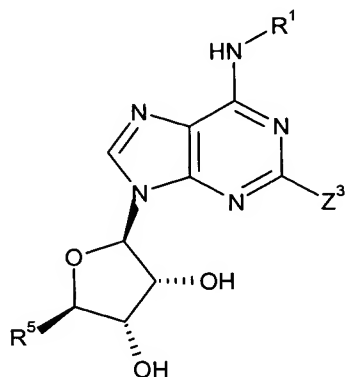
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(III)

10 wherein  $Z^1$  is a leaving group; or

(b) aminocarbonylation reaction of a compound of the formula:



15

(XVII)

wherein  $Z^3$  is a leaving group, with a compound of the formula:

20

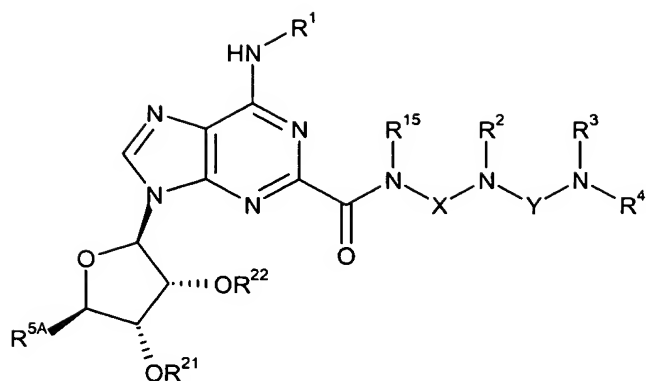


(XVIII)

wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^{15}$ , X and Y are as defined in claim 1, in the presence of carbon monoxide and a coupling catalyst; or

25

(c) deprotection of a compound of the formula:



(XXI)

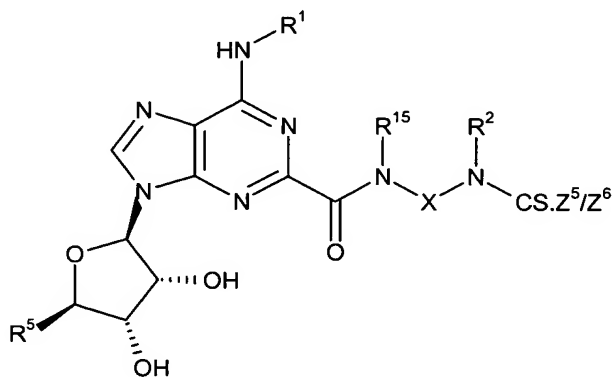
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wherein  $R^{21}$  and  $R^{22}$  are either each a protecting group, or, taken together, are a protecting group,  $R^{5A}$  is  $CH_2OH$ ,  $CH_2OR^{23}$  or  $CONR^{14}R^{14}$ ,  $R^{23}$  is a protecting group and  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^{14}$ ,  $R^{15}$ ,  $X$  and  $Y$  are as defined in claim 1, the protecting group(s) being removed together, separately or in any combination;

10 or

(d) for the preparation of a compound of the formula (I) wherein  $Y$  is  $CS$  and  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^{15}$  and  $X$  are as defined in claim 1, reaction of a compound of the formula:

15



(XXIVA)

wherein  $Z^5 / Z^6$  is a leaving group, with an amine of the formula:



5 ; or

(e) for the preparation of a compound of the formula (I) wherein Y is  $SO_2$  and  $R^1, R^2, R^3, R^4, R^5, R^{15}$  and X are as defined in claim 1, reaction of a compound of the formula:

10

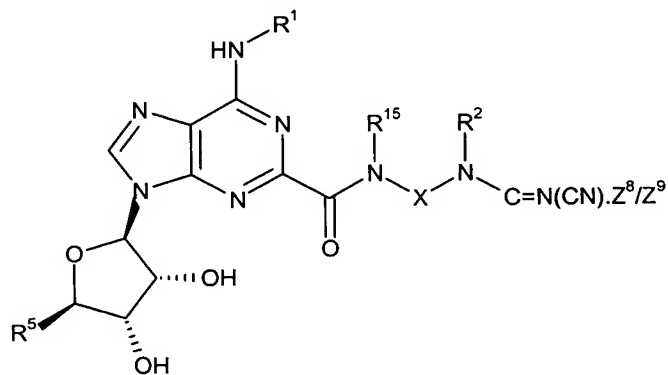


(XXVII)

15 wherein  $Z^7$  is a leaving group, with compound of the formula (II) as defined in part (a); or

(f) for the preparation of a compound of the formula (I) wherein Y is  $C=N(CN)$  and  $R^1, R^2, R^3, R^4, R^5, R^{15}$  and X are as defined in claim 1, reaction of a

20 compound of the formula:



25

(XXIVB)

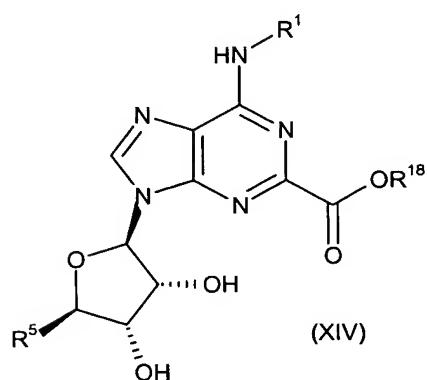
wherein  $Z^8 / Z^9$  is a leaving group, with an amine of the formula:



5

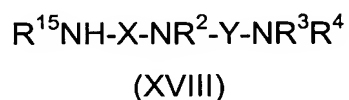
; or

(g) reaction of a compound of the formula:



10

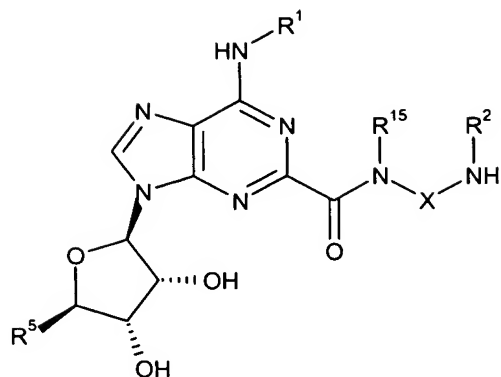
wherein  $R^{18}$  is an ester-forming group, with an amine of the formula:



- 15 wherein  $R^1, R^2, R^3, R^4, R^5, R^{15}, X$  and  $Y$  are as defined in claim 1  
: any one of said processes being optionally followed by conversion of a  
compound of the formula (I) to a pharmaceutically acceptable salt thereof.

63. A compound of the formula:

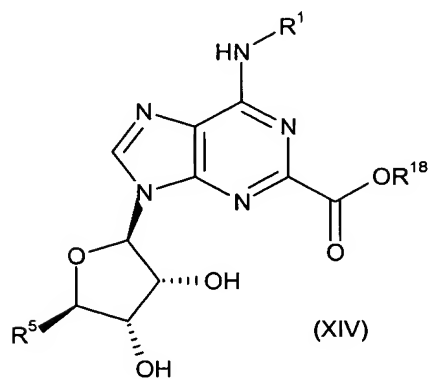
20



(II)

5 wherein  $R^1$ ,  $R^2$ ,  $R^5$ ,  $R^{15}$  and X are as defined in claim 1.

64. A compound of the formula:



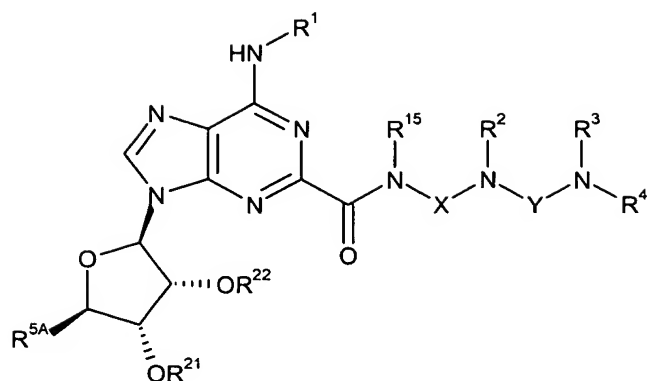
(XIV)

10

wherein  $R^5$  is  $\text{CONR}^{14}\text{R}^{14}$ ,  $R^{18}$  is an ester-forming group and  $R^1$  and  $R^{14}$  are as defined in claim 1.

65. A compound of the formula:

15

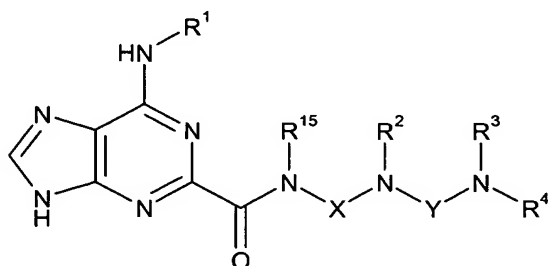


(XXI)

- 5 wherein R<sup>21</sup> and R<sup>22</sup> are either each a protecting group, or, taken together, are a protecting group, R<sup>5A</sup> is CH<sub>2</sub>OH, CH<sub>2</sub>OR<sup>23</sup> or CONR<sup>14</sup>R<sup>14</sup>, R<sup>23</sup> is a protecting group and R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>14</sup>, R<sup>15</sup>, X and Y are as defined in claim 1.

66. A compound of the formula:

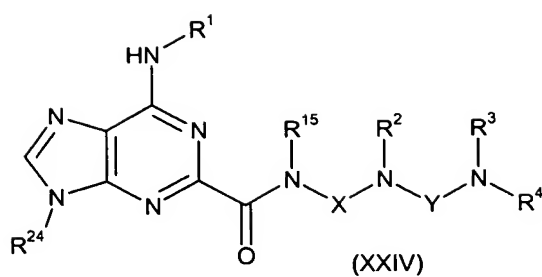
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(XXII)

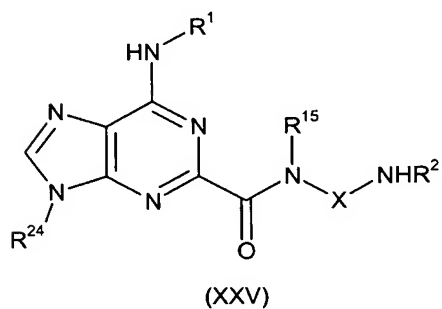
- 15 wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>15</sup>, X and Y are as defined in claim 1.

67. A compound of the formula:



wherein  $R^{24}$  is a protecting group and  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^{15}$ , X and Y are as defined in claim 1.

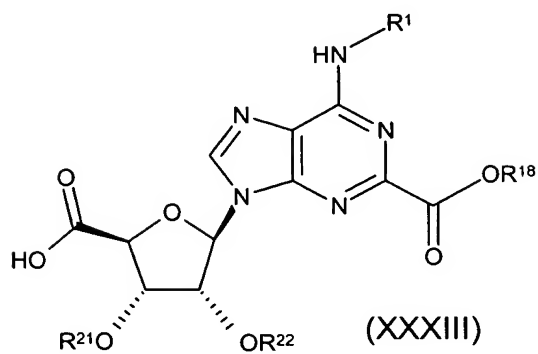
5 68. A compound of the formula:



wherein  $R^{24}$  is a protecting group and  $R^1$ ,  $R^2$ ,  $R^{15}$  and X are as defined in claim 1.

69. A compound of the formula:

5

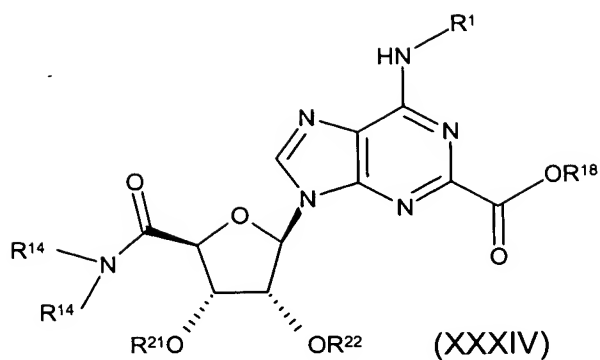


wherein  $R^{18}$  is an ester-forming group,  $R^{21}$  and  $R^{22}$  are either each a protecting group, or, taken together, are a protecting group, and  $R^1$  is as defined in claim 1.

10

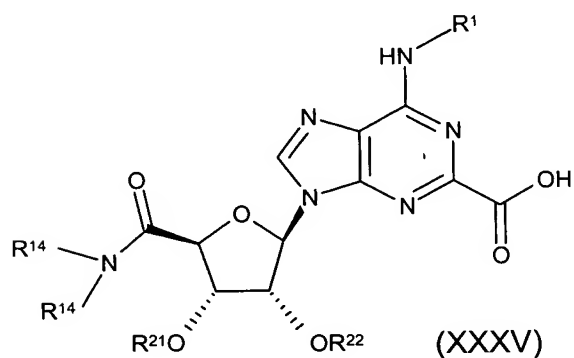
70. A compound of the formula:





wherein  $R^{18}$  is an ester-forming group,  $R^{21}$  and  $R^{22}$  are either each a protecting  
 5 group, or, taken together, are a protecting group, and  $R^1$  and  $R^{14}$  are as  
 defined in claim 1.

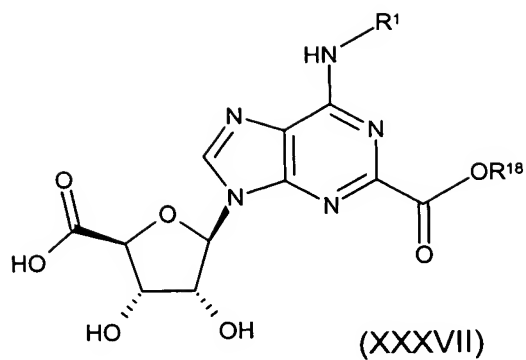
71. A compound of the formula:



10

wherein  $R^{21}$  and  $R^{22}$  are either each a protecting group, or, taken together, are  
 a protecting group, and  $R^1$  and  $R^{14}$  are as defined in claim 1.

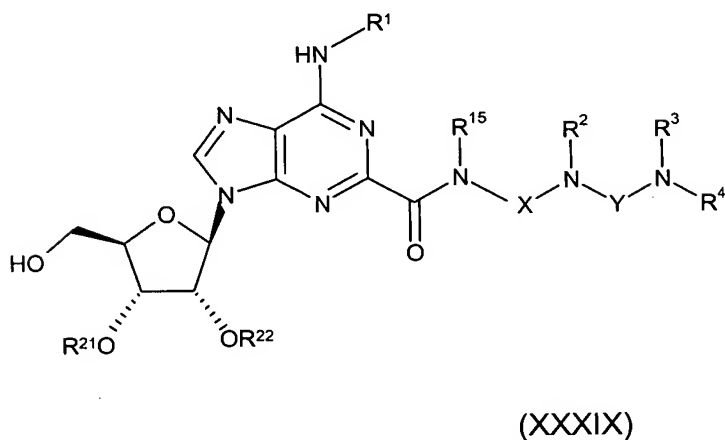
15 72. A compound of the formula:



wherein R<sup>18</sup> is an ester-forming group and R<sup>1</sup> is as defined in claim 1.

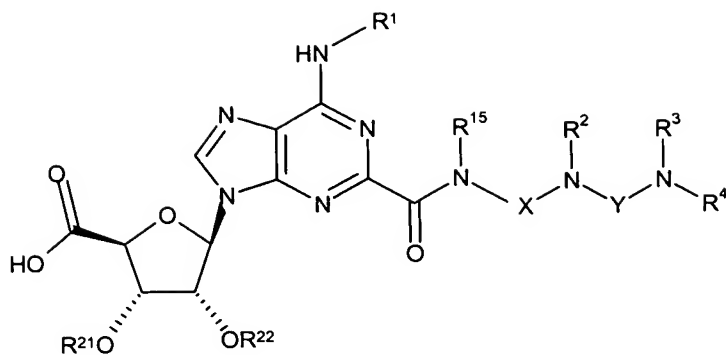
73. A compound of the formula:

5



wherein R<sup>21</sup> and R<sup>22</sup> are either each a protecting group, or, taken together, are  
 10 a protecting group, and R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>15</sup>, X and Y are as defined in claim 1.

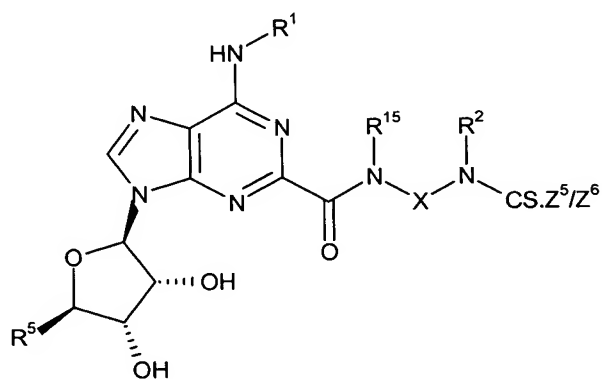
74. A compound of the formula:



(XXXX)

wherein  $R^{21}$  and  $R^{22}$  are either each a protecting group, or, taken together, are  
 5 a protecting group, and  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^{15}$ , X and Y are as defined in claim 1.

75. A compound of the formula:



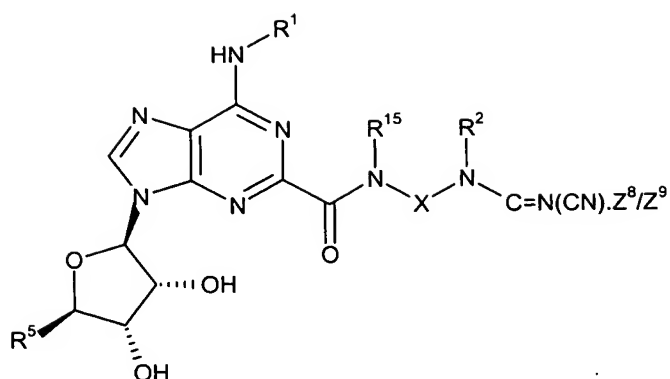
(XXIVA)

10

wherein  $Z^5/Z^6$  is a leaving group and  $R^1$ ,  $R^2$ ,  $R^5$ ,  $R^{15}$  and X are as defined in  
 claim 1.

15

76. A compound of the formula:



(XXIVB)

5

wherein wherein  $Z^8/Z^9$  is a leaving group and  $R^1$ ,  $R^2$ ,  $R^5$ ,  $R^{15}$  and  $X$  are as defined in claim 1.

77. Ethyl 6-[(2,2-diphenylethyl)amino]-9*H*-purine-2-carboxylate;
- 10 ethyl 9-[(2*R*,3*R*,4*R*,5*R*)-3,4-bis(acetyloxy)-5-[(acetyloxy)methyl]tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9*H*-purine-2-carboxylate;
- ethyl 9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9*H*-purine-2-carboxylate;
- ethyl 9-[(3*aR*,4*R*,6*R*,6*aR*)-6-(hydroxymethyl)-2,2-dimethyltetrahydrofuro[3,4-
- 15 *d*][1,3]dioxol-4-yl]-6-[(2,2-diphenylethyl)amino]-9*H*-purine-2-carboxylate;

(3a*S*,4*S*,6*R*,6a*R*)-6-[6-[(2,2-diphenylethyl)amino]-2-(ethoxycarbonyl)-9*H*-purin-9-yl]-2,2-dimethyltetrahydrofuro[3,4-*d*][1,3]dioxole-4-carboxylic acid;

ethyl 9-[(3a*R*,4*R*,6*S*,6a*S*)-6-[(ethylamino)carbonyl]-2,2-dimethyltetrahydrofuro[3,4-*d*][1,3]dioxol-4-yl]-6-[(2,2-diphenylethyl)amino]-9*H*-purine-2-carboxylate;

9-[(3a*R*,4*R*,6*S*,6a*S*)-6-[(ethylamino)carbonyl]-2,2-dimethyltetrahydrofuro[3,4-*d*][1,3]dioxol-4-yl]-6-[(2,2-diphenylethyl)amino]-9*H*-purine-2-carboxylic acid;

9-[(3a*R*,4*R*,6*S*,6a*S*)-6-[(ethylamino)carbonyl]-2,2-dimethyltetrahydrofuro[3,4-*d*][1,3]dioxol-4-yl]-6-[(2,2-diphenylethyl)amino]-*N*-{2-[[1-(2-pyridinyl)-4-piperidinyl]amino]carbonyl]amino]ethyl}-9*H*-purine-2-carboxamide;

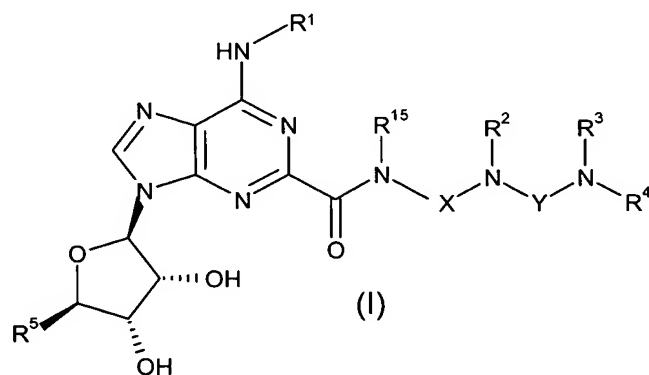
*tert*-butyl 2-[[[1-(2-pyridinyl)-4-piperidinyl]amino]carbonyl]amino]ethylcarbamate;

*N*-(2-aminoethyl)-*N*'-[1-(2-pyridinyl)-4-piperidinyl]urea dihydrochloride; or

*N*-(2-aminoethyl)-*N*'-[1-(2-pyridinyl)-4-piperidinyl]urea.

15

78. A compound of the formula:



or a pharmaceutically acceptable salt or solvate thereof, wherein

20

R<sup>1</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl or fluorenyl, said C<sub>1</sub>-C<sub>6</sub> alkyl being optionally substituted by 1 or 2 substituents each independently selected from phenyl and naphthyl, said

phenyl and naphthyl being optionally substituted by C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, halo or cyano;

R<sup>2</sup> is H or C<sub>1</sub>-C<sub>6</sub> alkyl;

5

either, R<sup>3</sup> and R<sup>4</sup>, taken together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidiny, piperidiny, piperazinyl, homopiperidiny or homopiperazinyl, each being optionally substituted on a ring nitrogen or carbon atom by C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>8</sub> cycloalkyl and optionally substituted on a ring carbon atom not adjacent to a ring nitrogen atom by -NR<sup>6</sup>R<sup>7</sup>,

10

or, R<sup>3</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl or benzyl and R<sup>4</sup> is

(a) azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl

15

or homopiperidin-4-yl, each being optionally substituted by C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, phenyl, benzyl or het, or

(b) -(C<sub>2</sub>-C<sub>6</sub> alkylene)-R<sup>8</sup>, or

(c) -(C<sub>1</sub>-C<sub>6</sub> alkylene)-R<sup>13</sup>;

20 R<sup>5</sup> is CH<sub>2</sub>OH or CONR<sup>14</sup>R<sup>14</sup>;

R<sup>6</sup> and R<sup>7</sup> are either each independently H or C<sub>1</sub>-C<sub>6</sub> alkyl or, taken together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidiny or piperidiny, said azetidiny, pyrrolidiny and piperidiny being optionally

25

substituted by C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sup>8</sup> is (i) azetidin-1-yl, pyrrolidin-1-yl, piperidin-1-yl, morpholin-4-yl, piperazin-1-yl, homopiperidin-1-yl, homopiperazin-1-yl or tetrahydroisoquinolin-1-yl, each being optionally substituted on a ring carbon atom by C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub>

30

cycloalkyl, phenyl, C<sub>1</sub>-C<sub>6</sub> alkoxy-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, R<sup>9</sup>R<sup>9</sup>N-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, -CONR<sup>9</sup>R<sup>9</sup>, -COOR<sup>9</sup> or C<sub>2</sub>-C<sub>5</sub> alkanoyl, and optionally substituted on a ring carbon atom not adjacent to a ring nitrogen atom by fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy,

halo,  $-OR^9$ , cyano,  $-S(O)_mR^{10}$ ,  $-NR^9R^9$ ,  $-SO_2NR^9R^9$ ,  $-NR^9COR^{10}$  or  $-NR^9SO_2R^{10}$ , and said piperazin-1-yl and homopiperazin-1-yl being optionally substituted on the ring nitrogen atom not attached to the  $C_2$ - $C_6$  alkylene group by  $C_1$ - $C_6$  alkyl, phenyl,  $C_1$ - $C_6$  alkoxy- $(C_2$ - $C_6)$ -alkyl,  $R^9R^9N$ - $(C_2$ - $C_6)$ -alkyl, fluoro- $(C_1$ - $C_6)$ -alkyl,  $C_2$ -  
 5  $C_5$  alkanoyl,  $-COOR^{10}$ ,  $C_3$ - $C_8$  cycloalkyl,  $-SO_2R^{10}$ ,  $-SO_2NR^9R^9$  or  $-CONR^9R^9$ , or  
 (ii)  $NR^{11}R^{12}$ ;

$R^9$  is H,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_8$  cycloalkyl or phenyl;

10  $R^{10}$  is  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_8$  cycloalkyl or phenyl;

$R^{11}$  is H,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_8$  cycloalkyl or benzyl;

$R^{12}$  is H,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_8$  cycloalkyl, phenyl, benzyl, fluoro- $(C_1$ - $C_6)$ -alkyl, -  
 15  $CONR^9R^9$ ,  $-COOR^{10}$ ,  $C_2$ - $C_5$  alkanoyl or  $-SO_2NR^9R^9$ ;

$R^{13}$  is phenyl, pyridin-2-yl, pyridin-3-yl or pyridin-4-yl, each being optionally substituted by  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy, halo or cyano;

20  $R^{14}$  is H or  $C_1$ - $C_6$  alkyl optionally substituted by cyclopropyl;

$R^{15}$  is H or  $C_1$ - $C_6$  alkyl;

m is 0, 1 or 2;

25

X is unbranched  $C_2$ - $C_3$  alkylene optionally substituted by  $C_1$ - $C_6$  alkyl or  $C_3$ - $C_8$  cycloalkyl;

Y is CO, CS,  $SO_2$  or  $C=N(CN)$ ; and

30

"het", used in the definition of  $R^4$ , is a C-linked, 4- to 6-membered ring, heterocycle having either from 1 to 4 ring nitrogen heteroatoms or 1 or 2

nitrogen ring heteroatoms and 1 oxygen or 1 sulphur ring heteroatom, optionally substituted by C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>3</sub>-C<sub>8</sub> cycloalkoxy, hydroxy, oxo or halo.